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Declaration under Rule 4.17:
— *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)*

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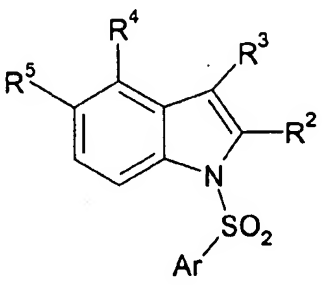
(54) Title: 2-, 3-, 4-, OR 5-SUBSTITUTED-N1-(BENZENSULFONYL)INDOLES AND THEIR USE IN THERAPY



(I)

(57) Abstract: The invention provides 2, 3-, 4- or 5-substituted-N1-(benzensulfonyl)indoles compounds of the general formula (I) in which Ar, R^2, R^3, R^4 and R^5 are as defined in the specification. The said compounds have affinity for the 5-HT_6 receptor and are useful for the treatment and prophylaxis of disorders relating to the said receptor, such as obesity and CNS disorders.

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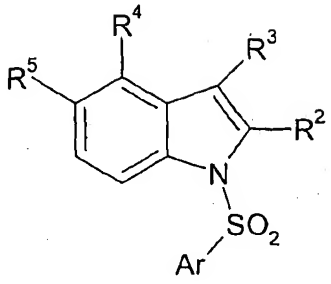
CLAIMS

1. A compound of formula (I):



(I)

wherein

Ar is

(1) phenyl,

(2) naphthyl,

(3) a 5- to 10-membered monocyclic or bicyclic heterocyclic ring having 1 to 4 heteroatoms selected from the group consisting of oxygen, sulfur, or nitrogen, or

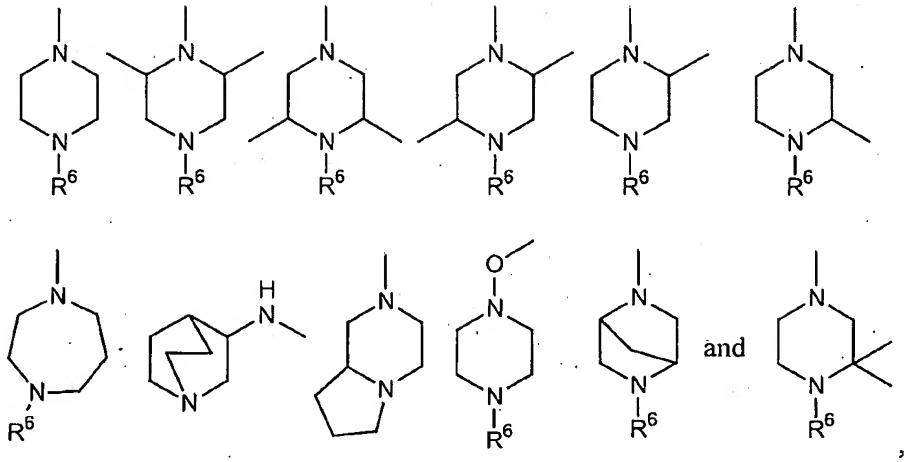
(4) -R^9-phenyl;

wherein each of phenyl, naphthyl, and heterocyclic ring is independently optionally substituted with halogen, C_{1-6} alkyl, CF_3, hydroxyl, C_{1-6} alkoxyl, OCF_3, $COCF_3$, CN, NO_2, phenyloxy, phenyl, C_{1-6} alkylsulfonyl, C_{2-6} alkenyl, -NR^7R^8, C_{1-6} alkylcarboxyl, formyl, -C_{1-6} alkyl-NH-CO-phenyl, -C_{1-6} alkyl-CO-NH-phenyl, -NH-CO-C_{1-6} alkyl, -CO-NR^7R^8, or SR^7; wherein each of R^7 and R^8 is independently H or C_{1-6} alkyl; and R^9 is C_{1-6} alkyl or C_{2-6} alkenyl, each of which being optionally substituted with phenyl or phenyloxy;

R^2 is H, phenyl, I, or C_{1-6} alkyl;

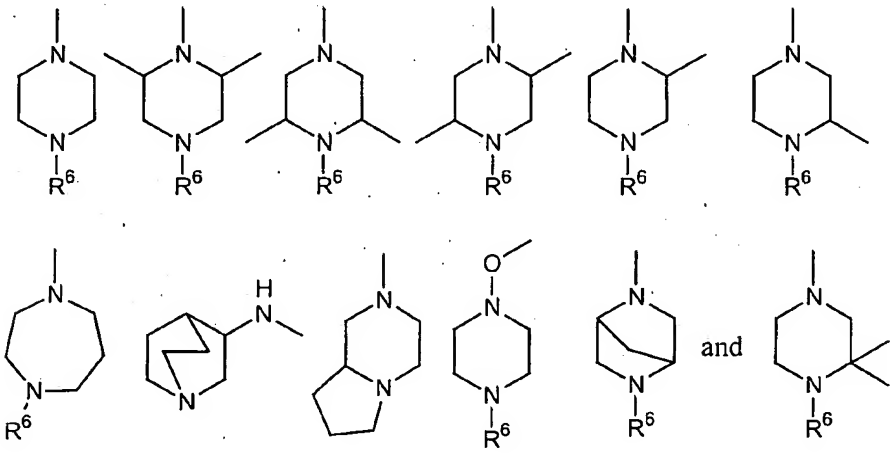
R^3 is H or 3-(1-azabicyclo[2.2.2]oct-2-en)yl;

R^4 is H or a heterocyclic ring selected from the group consisting of:



wherein R^6 is H, C_{1-6} alkyl, or benzyl; and

R^5 is H, hydroxy, C_{1-3} alkoxy, F, NO_2, CF_3, OCF_3, or a heterocyclic ring selected from the group consisting of:



or a pharmaceutically acceptable salt, hydrate, or stereoisomer thereof,

with the proviso that when R^2 is alkyl, R^4 is not H.

2. A compound according to claim 1, wherein

Ar is

(1) phenyl,

(2) 1-naphthyl or 2-naphthyl,

(3) a 5- to 10-membered monocyclic or bicyclic heterocyclic ring having 1 to 4 heteroatoms selected from the group consisting of oxygen, sulfur, or nitrogen, or

(4) -R^9-phenyl;

wherein each of phenyl, naphthyl, and heterocyclic ring is independently optionally substituted with F, Cl, Br, C_{1-6} alkyl, CF_3, hydroxyl, C_{1-6} alkoxyl, OCF_3, phenyl, C_{2-6}

INTERNATIONAL SEARCH REPORT

International application No.
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A. CLASSIFICATION OF SUBJECT MATTER

IPC7: C07D 209/08, C07D 453/02, C07D 403/04, C07D 487/04, A61K 31/404, A61K 31/439, A61K 31/496, A61P 25/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC7: C07D, A61K, A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

SE,DK,FI,NO classes as above

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 9636611 A1 (CHIROSCIENCE LIMITED), 21 November 1996 (21.11.96) --	1,3,10,21-22
X	WO 9805315 A1 (TULARIK, INC.), 12 February 1998 (12.02.98) --	1,3,10,21,22
X	WO 9633171 A1 (ISTITUTO SUPERIORE DI SANITÁ), 24 October 1996 (24.10.96) --	1,3,10,21,22

[X] Further documents are listed in the continuation of Box C. [X] See patent family annex.

* Special categories of cited documents:
"A" document defining the general state of the art which is not considered to be of particular relevance
"E" earlier application or patent but published on or after the international filing date
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
"O" document referring to an oral disclosure, use, exhibition or other means
"P" document published prior to the international filing date but later than the priority date claimed
"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"X" document of particular relevance: the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
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"&" document member of the same patent family

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C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	STN International, File CAPLUS, CAPLUS accession no.1999:550761, document no. 131:299130, Nyasse, Barthelemy et al: "2-Naphthalensuflonyl as a Tosyl Substitute for Protecion of Amino Functions. Cyclic Voltammetry Studies on Model Sulfonamides and Their Preparative Cleavage by Reduction"; & J.Org.Chem. (1999), 64(19), 7135-7139	1,3,4,10
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International application No.
PCT/SE 01/02319

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Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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INTERNATIONAL SEARCH REPORT

International application No.
PCT/SE 01/02319

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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